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         JUL 28
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         JUL 28
                 EPFULL enhanced with additional legal status
                 information from the epoline Register
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         JUL 28
                 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
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         AUG 01
                 INPADOCDB and INPAFAMDB coverage enhanced
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         AUG 13 CA/CAplus enhanced with printed Chemical Abstracts
                 page images from 1967-1998
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         AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 18
         AUG 15
                 CAplus currency for Korean patents enhanced
NEWS 19
         AUG 27
                 CAS definition of basic patents expanded to ensure
                 comprehensive access to substance and sequence
                  information
NEWS 20
         SEP 18
                 Support for STN Express, Versions 6.01 and earlier,
                 to be discontinued
NEWS 21
         SEP 25
                 CA/CAplus current-awareness alert options enhanced
                 to accommodate supplemental CAS indexing of
                 exemplified prophetic substances
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         SEP 26
                 WPIDS, WPINDEX, and WPIX coverage of Chinese and
                 and Korean patents enhanced
                 IFICLS enhanced with new super search field
NEWS 23
         SEP 29
NEWS 24
         SEP 29
                 EMBASE and EMBAL enhanced with new search and
                 display fields
NEWS 25
         SEP 30
                 CAS patent coverage enhanced to include exemplified
                 prophetic substances identified in new Japanese-
                 language patents
NEWS 26
         OCT 07
                 EPFULL enhanced with full implementation of EPC2000
NEWS 27
         OCT 07
                 Multiple databases enhanced for more flexible patent
                 number searching
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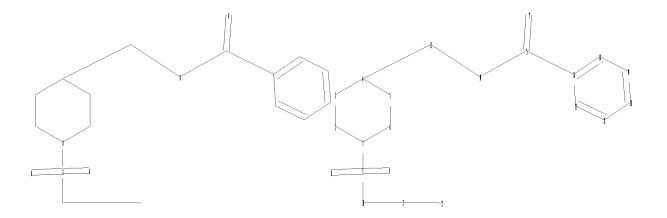
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chain nodes :
7 8 9 10 11 12 13 14 15 17
ring nodes :
1 2 3 4 5 6 16 18 19 20 21 22
chain bonds :
1-7 4-13 7-8 7-9 7-10 10-11 11-12 13-14 14-15 15-16 15-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-18 16-22 18-19 19-20 20-21 21-22
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 7-8 7-9 7-10 13-14 14-15 15-17
exact bonds :
4-13 10-11 11-12 15-16
normalized bonds :
16-18 16-22 18-19 19-20 20-21 21-22

## Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom

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=> s 11 sss sam
SAMPLE SEARCH INITIATED 16:14:05 FILE 'REGISTRY'
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100.0% PROCESSED 30 ITERATIONS 12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 272 TO 928
PROJECTED ANSWERS: 33 TO 447

L2 12 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:14:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 532 TO ITERATE

100.0% PROCESSED 532 ITERATIONS 178 ANSWERS

SEARCH TIME: 00.00.01

L3 178 SEA SSS FUL L1

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ENTRY SESSION
FULL ESTIMATED COST 178.36 178.57

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=> s 13

L4 11 L3

=> d ibib abs hitstr 1-11

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:509742 CAPLUS

DOCUMENT NUMBER: 146:500900

TITLE: Preparation of piperidine glycine transporter

inhibitors

INVENTOR(S): Hallett, David; Lindsley, Craig W.; Naylor, Elizabeth

M.; Zhao, Zhijian; Theberge, Cory R.; Wolkenberg,

Scott E.; Nolt, Brad M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 85pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2007053400
                         Α2
                                20070510
                                            WO 2006-US41699
                                                                   20061027
     WO 2007053400
                         А3
                                20070920
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
             KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
            MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
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                         Α1
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                                           EP 2006-826685
                                20080716
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                                                             P 20051028
PRIORITY APPLN. INFO.:
                                            US 2005-731010P
                                                              W 20061027
                                            WO 2006-US41699
```

OTHER SOURCE(S):

CN

MARPAT 146:500900

yl]methyl]- (CA INDEX NAME)

AΒ The title compds. I [R1 = (CH2)nR1a (wherein n = 0-6; R1a = 0.0](un)substituted alkyl, cycloalkyl, piperidinyl, etc.); R2 = (un) substituted Ph, heterocyclyl, cycloalkyl, etc.; R3 = (un) substituted alkyl, cycloalkyl, alkylcycloalkyl, etc.; R4, R5 = H, alkyl; or R4 and R5taken together form a cycloalkyl ring; A = O, NR10 (R10 = H, alkyl, cycloalkyl, etc.); m = 0 or 1] that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-cyanopiperidine-1-carboxylate and cyclopropylmethyl bromide, was given. The exemplified compds. I had activity in inhibiting specific uptake of [14C]glycine, generally with an IC50 value of less than about 10  $\mu M.$ Pharmaceutical composition comprising the compound I is disclosed. 936481-32-2P 936481-37-7P 936481-39-9P ΙT 936481-41-3P 936481-42-4P 936481-43-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of piperidine glycine transporter inhibitors) RN 936481-32-2 CAPLUS

Benzamide, 2,4-dichloro-N-[[1'-(propylsulfonyl)[1,4'-bipiperidin]-4'-

$$\begin{array}{c|c} C1 & O & & O \\ S-Pr-n \\ \hline C-NH-CH_2 & O \\ \end{array}$$

RN 936481-37-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-morpholinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 936481-39-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-1-piperazinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \\ & \\ N \\ & \\ \text{CH}_2 - \text{NH} - \text{C} \\ & \\ & \\ \text{C1} \\ \end{array}$$

RN 936481-41-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

RN 936481-42-4 CAPLUS

CN Benzamide, N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ C - NH - CH_2 & & \\ O - CF_3 & & N \end{array}$$

RN 936481-43-5 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:410347 CAPLUS

DOCUMENT NUMBER: 146:421847

TITLE: Preparation of radiolabeled benzoic acid

piperidinylalkylamide GlyT1 glycine transporter

inhibitors for diagnostic imaging

INVENTOR(S): Burns, H. Donald; Hamill, Terence G.; Lindsley, Craig

W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 30pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

GΙ

	PATENT NO.						DATE			APPL		DATE									
WO	2007041025 2007041025			A2 200			0412		WO 2			20060925									
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			•		•		DE,			•	•	•	•	•	•	•	•				
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,				
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,				
		MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,				
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,				
		UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW											
	RW:	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,				
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		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}_{{}_{\!{}^{\prime}}}$	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,				
		GM,	KΕ,	LS,	MW,	${ m MZ}$ ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,				
		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑP,	EA,	EP,	ΟA										
EP	1942	733			A2		2008	0716		EP 2	006-	8151	20060925								
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		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR					
PRIORIT	Y APP	LN.	INFO	.:									P 20050929								
										WO 2006-US36989						W 20060925					
OTHER S	OTHER SOURCE(S):					PAT	146:	4218	47	MARPAT 146:421847											

AB Title compds. (I; A = N, CH; R2a, R2b = H, F, C1, Br; R3 = alkyl, fluoroalkyl; R4 = H, alkyl; 1 of X, Y = 18F, O11CH3, OCD218F, the other = H), were prepared Thus, title compound (II) was prepared by treatment of the corresponding phenol derivative with a product prepared from [18F]F- and CD2Br2 in the presence of Cs2CO3 in DMF at 100°.
IT 934200-18-7P 934200-19-8P 934200-20-1P 934200-21-2P RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 934200-18-7 CAPLUS

CN Benzamide, 2-fluoro-6-(fluoro-18F-methoxy-d2)-N-[(1S)-1-[4-phenyl-1-

(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-19-8 CAPLUS

CN Benzamide, 2-chloro-6-(fluoro-18F)-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-20-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[3-(methoxy-11C)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 934200-21-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[6-(fluoro-18F)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

IT 934200-22-3 934200-23-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1
glycine transporter inhibitors for diagnostic imaging)

RN 934200-22-3 CAPLUS

CN Benzamide, 2-fluoro-6-hydroxy-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-23-4 CAPLUS

CN Benzamide, 2,6-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 866559-78-6P 866559-80-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 866559-78-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-

## piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ & C - NH - CH_2 & \\ & &$$

RN 866559-80-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ S & S - Pr - n \\ C - NH - CH_2 & OH \\ \end{array}$$

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:344575 CAPLUS

DOCUMENT NUMBER: 146:492593

TITLE: Design, synthesis, and in vivo efficacy of glycine

transporter-1 (GlyT1) inhibitors derived from a series
of [4-phenyl-1-(propylsulfonyl)piperidin-4-yl]methyl

benzamides

AUTHOR(S): Lindsley, Craig W.; Zhao, Zhijian; Leister, William

H.; O'Brien, Julie; Lemaire, Wei; Williams, David L., Jr.; Chen, Tsing-Bau; Chang, Raymond S. L.; Burno, Maryann; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Douglas J.; Tiller, Philip R.; Smith, Sheri; Tsou, Nancy N.; Duggan, Mark E.; Conn,

P. Jeffrey; Hartman, George D.

CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled

Synthesis Group, Merck Research Laboratories, West

Point, PA, 19486, USA

SOURCE: ChemMedChem (2006), 1(8), 807-811

CODEN: CHEMGX; ISSN: 1860-7179

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:492593

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Ι

AB An iterative analog library synthesis approach was employed to develop SAR for the title compds. Analog I was thus identified as a novel, centrally active GlyT1 inhibitor. I enhanced prepulse inhibition in a rodent behavioral model sensitive to antipsychotic treatment.

IT 852029-09-5P 852029-12-0P 852029-23-3P 852029-28-8P 852029-36-8P 852029-37-9P 852029-44-8P 852029-47-1P 852029-48-2P 852029-50-6P 936101-97-2P 936101-98-3P 936101-99-4P 936102-00-0P 936102-01-1P 936102-02-2P 936102-03-3P 936102-04-4P 936102-05-5P 936102-06-6P 936102-07-7P 936102-08-8P 936102-09-9P 936102-10-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
 (piperidinylmethylbenzamide-derived glycine transporter-1 inhibitors)

RN 852029-09-5 CAPLUS
CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl](CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 852029-12-0 CAPLUS
CN Benzamide, 2-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl](CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ \hline \\ O & & \\ C-NH-CH_2 & & \\ \hline \\ Ph & & \\ \end{array}$$

RN 852029-23-3 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ C - NH - CH_2 & & \\ O - CF_3 & & Ph \end{array}$$

RN 852029-28-8 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S - Pr - n \\ \parallel & O \\ Ph - C - NH - CH_2 & O \\ \end{array}$$

RN 852029-36-8 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} NH2 & O & & & \\ & S & Pr-n \\ \hline & C-NH-CH_2 & & O \\ & & Ph & \\ \end{array}$$

RN 852029-37-9 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-44-8 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2- (trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-47-1 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 852029-48-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936101-97-2 CAPLUS

CN Benzamide, 2,4-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & O \\ \parallel & S - Pr - n \\ \hline C - NH - CH_2 & O \\ \hline Ph & O \end{array}$$

RN 936101-98-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{O} & \text{O} \\ \text{S} & \text{S-Pr-n} \\ \text{C} & \text{NH-CH}_2 & \text{Ph} \\ \end{array}$$

RN 936101-99-4 CAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-00-0 CAPLUS

CN Benzamide, 2-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-01-1 CAPLUS

CN Benzamide, 2,4-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-02-2 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-03-3 CAPLUS

CN Benzamide, N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-04-4 CAPLUS

CN Benzamide, N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2- (trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-05-5 CAPLUS

CN Benzamide, 2-chloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-06-6 CAPLUS

CN Benzamide, 2-fluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-07-7 CAPLUS

CN Benzamide, 2,4-difluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-08-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-09-9 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-10-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 266341-42-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(piperidinylmethylbenzamide-derived glycine transporter-1 inhibitors)

RN 266341-42-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1190066 CAPLUS

DOCUMENT NUMBER: 146:142582

TITLE: Synthesis and SAR of GlyT1 inhibitors derived from a

series of N-((4-(morpholine-4-carbonyl)-1-

(propylsulfonyl)piperidin-4-yl)methyl)benzamides AUTHOR(S): Zhao, Zhijian; O'Brien, Julie A.; Lemaire, Wei;

Williams, David L.; Jacobson, Marlene A.; Sur,

Cyrille; Pettibone, Doug J.; Tiller, Philip R.; Smith,

Sheri; Hartman, George D.; Wolkenberg, Scott E.;

Lindsley, Craig W.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck and Co.,

Inc., West Point, PA, 19486, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),

16(23), 5968-5972

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:142582

GΙ

AB The synthesis and SAR of potent and selective non-sarcosine-derived GlyT1 inhibitors is described. A library of

N-((4-(morpholine-4-carbonyl)-1-(propylsulfonyl)piperidin-4-yl)methyl)benzamides was constructed using amidation as the key step. Some compds., e.g., I, displayed promising GlyT1 inhibitory activity.

IT 919284-93-8P 919284-94-9P

RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, GlyT1 inhibitory activity and SAR of [morpholinecarbonyl(propylsulfonyl)piperidinylmethyl]benzamides starting from N-Boc cyanopiperidine using amidation as key steps)

RN 919284-93-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1R)-1-[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Ι

RN 919284-94-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

869463-15-0P 869463-16-1P 919284-71-2P ΙT 919284-72-3P 919284-73-4P 919284-74-5P 919284-75-6P 919284-76-7P 919284-77-8P 919284-80-3P 919284-81-4P 919284-82-5P 919284-83-6P 919284-84-7P 919284-85-8P 919284-86-9P 919284-87-0P 919284-88-1P RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation, GlyT1 inhibitory activity and SAR of [morpholinecarbonyl(propylsulfonyl)piperidinylmethyl]benzamides starting from N-Boc cyanopiperidine using amidation as key steps) RN 869463-15-0 CAPLUS CN Benzamide, 2,4-dichloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4piperidinyl]methyl]- (CA INDEX NAME)

RN 869463-16-1 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-71-2 CAPLUS

CN 4-Piperidinecarboxamide, 4-[[(2,4-dichlorobenzoyl)amino]methyl]-N,N-dimethyl-1-(propylsulfonyl)- (CA INDEX NAME)

C1 
$$C = NH - CH_2$$
  $C = NMe_2$   $C = NMe_2$   $C = NMe_2$ 

RN 919284-72-3 CAPLUS

CN 4-Piperidinecarboxamide, 4-[[(2,4-dichlorobenzoyl)amino]methyl]-N-ethyl-1-

(propylsulfonyl) - (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & & & & \\ & \text{O} & & & \\ & \text{S-Pr-n} \\ & \text{C-NH-CH}_2 & & \\ & \text{C-NHEt} \\ & \text{O} & \\ \end{array}$$

RN 919284-73-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[[3-(dimethylamino)-1-pyrrolidinyl]carbonyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-74-5 CAPLUS

CN 4-Piperidinecarboxamide, N-cyclopropyl-4-[[(2,4-dichlorobenzoyl)amino]methyl]-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-75-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[(4-methyl-1-piperazinyl)carbonyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} C1 \\ \hline \\ C = 0 \\ \hline \\ NH \\ \hline \\ CH2 \\ \hline \\ CH2 \\ \hline \\ N \\ \\ O \\ \end{array}$$

RN 919284-76-7 CAPLUS

CN 4-Piperidinecarboxamide, 4-[[(2,4-dichlorobenzoyl)amino]methyl]-N-[(3-methyl-3-oxetanyl)methyl]-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-77-8 CAPLUS

CN Benzamide, N-[[4-[(3-amino-1-azetidinyl)carbonyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 919284-80-3 CAPLUS

CN Benzamide, N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-81-4 CAPLUS

CN Benzamide, N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 919284-82-5 CAPLUS

CN Benzamide, 2-chloro-4-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-83-6 CAPLUS

CN Benzamide, 2-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

RN 919284-84-7 CAPLUS

CN Benzamide, 4-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 919284-85-8 CAPLUS

CN Benzamide, 3-chloro-2,6-difluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-86-9 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-87-0 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-88-1 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

IT 919284-68-7P 919284-69-8P 919284-70-1P 919284-90-5P 919284-91-6P 919284-92-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, GlyT1 inhibitory activity and SAR of

[morpholinecarbonyl(propylsulfonyl)piperidinylmethyl]benzamides

starting from N-Boc cyanopiperidine using amidation as key steps)

RN 919284-68-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[(phenylmethoxy)methyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-69-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(hydroxymethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-70-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[[(2,4-dichlorobenzoyl)amino]methyl]-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-90-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-[(phenylmethoxy)methyl]-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 919284-91-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(hydroxymethyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 919284-92-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[1-[(2,4-dichlorobenzoyl)amino]ethyl]-1-(propylsulfonyl)- (CA INDEX NAME)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1093266 CAPLUS

DOCUMENT NUMBER: 145:432223

TITLE: Method of treating schizophrenia prodrome

INVENTOR(S): Woods, Scott W.

PATENT ASSIGNEE(S): Yale University, USA SOURCE: PCT Int. Appl., 64pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.						KIND DATE			APPL	ICAT		DATE						
_			A2 20061019 A3 20070322				WO 2	20060411											
	W:							AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
								DK,											
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,		
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
		ΜZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,		
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,		
		VN,	YU,	ZA,	ZM,	ZW													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,		
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,		
AU	2006	2354	00		A1		2006	1019		AU 2	006-		20060411						
CA	IS, IT, L CF, CG, C GM, KE, L KG, KZ, M AU 2006235400 CA 2602626 EP 1871165 R: AT, BE, B							1019					20060411						
EP															20060411				
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,		
		,	HR,	,															
JP	2008	5358	64		${ m T}$		2008	0904		JP 2	008-	5056	37		20060411				
PRIORIT	Y APP	LN.	INFO	.:											P 20050411				
								4000	WO 2006-US13444						W 20060411				

OTHER SOURCE(S): MARPAT 145:432223

AB The present invention relates to a method of treating schizophrenia prodrome in human subjects using a NMDA glycine site agonist, a glycine transporter-1 inhibitor or mixts. thereof, optionally in combination with a pharmaceutically acceptable additive, carrier or excipient.

IT 852029-09-5

L4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method of treating schizophrenia prodrome with NMDA glycine agonist and glycine transporter-1 inhibitor)

RN 852029-09-5 CAPLUS

CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl](CA INDEX NAME)

ACCESSION NUMBER: 2006:342953 CAPLUS

DOCUMENT NUMBER: 144:369920

TITLE: Cyclopropyl piperidine glycine transporter inhibitors

for treatment of neurological and psychiatric

disorders

INVENTOR(S): Lindsley, Craig W.; Wisnoski, David D.; Wolkenberg,

Scott E.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						DATE			APPLICATION NO.									
WO	2006	2006039221 2006039221			A2		2006	20060413 20060908											
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
	GE, GH, GM LC, LK, LR			GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	KE,	KG,	KM,	KP,	KR,	KΖ,		
				LR,	LS,	LT,	LU,	LV,	LY,	MA	MD,	MG,	MK,	MN,	MW,	MX,	MZ,		
		NA,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,	PL	, PT,	RO,	RU,	SC,	SD,	SE,	SG,		
		SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,		
		- ,	ZA,	,															
	RW:										E, ES,								
		IS,	ΙT,	LT,	LU,	LV,	MC,	ΝL,	PL,	PΤ	, RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
									•		, MR,						•		
								SD,	SL,	SZ	TZ,	UG,	ZM,	ZW,	ΑM,	AΖ,	BY,		
		,	KZ,	,		,													
-	AU 2005292323							-		-			_						
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	2007						2007				2007-								
	2008				A1		2008				2007-					0070			
	2007				A			•			2007- 2007-								
	2007				A		2007				2007-					0070			
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OTHER SOURCE(S): MARPAT 144:369920 GI

Ι

$$\begin{array}{c|c}
R^3 & R^4 & || \\
N &$$

- The present invention is directed to cyclopropyl piperidine compds. (I; R1 = substituted Ph, substituted heterocycle, (un)substituted C1-8 alkyl, (un)substituted C3-6 cycloalkyl; R2 = (un)substituted C1-6 alkyl, (un)substituted C3-6 cycloalkyl; R3,R4 = H, (un)substituted C1-6 alkyl; A = 0, NR5; R5 = H, (un)substituted C1-6 alkyl, (un)substituted C3-6 cycloalkyl, benzyl, phenyl; m = 0, 1) that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved.
- IT 882034-97-1P 882034-98-2P 882035-07-6P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclopropyl piperidine compds. as glycine transporter inhibitors for treatment of neurol. and psychiatric disorders)

RN 882034-97-1 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(cyclopropylmethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 882034-98-2 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(cyclopropylmethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-6-fluoro- (CA INDEX NAME)

882035-07-6 CAPLUS RN

CN Benzamide, 2,4-dichloro-N-[[4-(cyclopropylmethyl)-1-(propylsulfonyl)-4piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1220538 CAPLUS

DOCUMENT NUMBER: 143:472603

TITLE: Morpholinyl piperidine derivative glycine transporter

GlyT1 inhibitors, their preparation/., and their use

for treatment of neurological and psychiatric

disorders

INVENTOR(S): Lindsley, Craig W.; Wolkenberg, Scott E.; Zhao,

Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	PATENT NO.					KIND DATE			ICAT		DATE				
	2005107469 2005107469			A2 20051117 A3 20060629			1	wo 2	005-	US15	20050429				
₩:	CN, CO GE, GI LC, LI NI, NO	G, AL, O, CR, H, GM, K, LR, O, NZ, Y, TJ,	CU, HR, LS, OM,	CZ, HU, LT, PG,	DE, ID, LU, PH,	DK, IL, LV, PL,	DM, IN, MA, PT,	DZ, IS, MD, RO,	EC, JP, MG, RU,	EE, KE, MK, SC,	EG, KG, MN, SD,	ES, KM, MW, SE,	FI, KP, MX, SG,	GB, KR, MZ, SK,	GD, KZ, NA, SL,
	BW, GI AZ, B EE, E: RO, SI MR, NI	H, GM, Y, KG, S, FI, E, SI, E, SN,	KZ, FR, SK, TD,	MD, GB, TR, TG	RU, GR, BF,	TJ, HU, BJ,	TM, IE, CF,	AT, IS, CG,	BE, IT, CI,	BG, LT, CM,	CH, LU, GA,	CY, MC,	CZ, NL, GQ,	DE, PL, GW,	DK, PT, ML,
	US 20070249606 PRIORITY APPLN. INFO.:					1025	1	US 2 US 2 WO 2	004-	5682	20061030 P 20040505 W 20050429				

RN

CN

AB The invention discloses morpholinyl piperidine compds. that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved. Preparation of I is described.

IT 869463-15-0P 869463-16-1P

Ι

869463-15-0P 869463-16-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(morpholinyl piperidine derivative glycine transporter GlyT1 inhibitor preparation and use for treatment of neurol. and psychiatric disorders) 869463-15-0 CAPLUS

Benzamide, 2,4-dichloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 869463-16-1 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1103490 CAPLUS

DOCUMENT NUMBER: 143:386922

TITLE: Preparation of heteroaryl-substituted piperidine

glycine transporter inhibitors for the treatment of

psychiatric disorders

INVENTOR(S): Blackaby, Wesley; Duggan, Mark E.; Hallett, David;

Hartman, George D.; Jennings, Andrew S.; Leister, William H.; Lewis, Richard T.; Lindsley, Craig W.; Naylor, Elizabeth; Street, Leslie J.; Wang, Yi; Wisnoski, David D.; Wolkenberg, Scott E.; Zhao,

Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE			ICAT	ION 1	DATE					
							WO 2	005-	US98		2				
						D7	DD	DC	DD	D TAT	DV	D7	$C^{\Lambda}$	СП	
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•		•			•	•	•								
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10, NZ	Z, OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
SY, TJ	Г, ТМ,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
BW, GF	H, GM,	KΕ,	LS,	MW,	MΖ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	
AZ, BY	, KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
EE, ES	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	
RO, SE	S, SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
AR, NE	SN,	TD,	TG												
28133		A1		2005	1013		AU 2	005-	2281.		20050323				
56		A1		2005	1013	1	CA 2	005-	2560.	256		2	0050	323	
							EP 2	005-	7261	0.5					
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OTHER SOURCE(S):

CASREACT 143:386922; MARPAT 143:386922

GΙ

Title compds. I [R1 = H, alkyl, halo, Ph, etc.; R2 = (un) substituted Ph, AΒ heterocyclyl, alkyl, etc.; R3 = alkyl, cycloalkyl, etc.; R4-5 = H, alkyl, etc.; R6 = H, alkyl; W, X, Y, Z = C, N with the proviso that at least two of W, X, Y and Z are C, to form a pyridine, oxodihydropyridine, etc.; A =O, (un)substituted N; m = 0-1] are prepared For instance, II is prepared in 5 steps from 2-fluoropyridine, tert-Bu 4-cyanopiperidine-1-carboxylate, n-PrSO2Cl and 2-chloro-3,6-difluorobenzoyl chloride. I inhibit the glycine transporter GlyT1 [no data] and are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved. ΙT

866559-77-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

RN 866559-77-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4piperidinyl]methyl]- (CA INDEX NAME)

866558-67-0P 866558-68-1P 866558-69-2P ΙT 866558-71-6P 866558-72-7P 866558-73-8P 866558-74-9P 866558-75-0P 866558-76-1P 866558-77-2P 866558-78-3P 866558-79-4P 866558-80-7P 866558-81-8P 866558-82-9P 866558-83-0P 866558-84-1P 866558-85-2P 866558-86-3P 866558-87-4P 866558-93-2P 866558-94-3P 866558-95-4P 866558-96-5P 866558-99-8P 866559-00-4P 866559-01-5P 866559-02-6P 866559-10-6P 866559-11-7P 866559-12-8P 866559-13-9P 866559-14-0P 866559-15-1P 866559-16-2P 866559-17-3P 866559-29-7P 866559-30-0P 866559-31-1P 866559-32-2P 866559-45-7P 866559-46-8P 866559-48-0P 866559-49-1P 866559-50-4P 866559-54-8P 866559-55-9P 866559-56-0P 866559-57-1P 866559-59-3P 866559-62-8P 866559-64-0P 866559-71-9P 866559-75-3P 866559-76-4P 866559-78-6P 866559-79-7P 866559-80-0P 866559-81-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders) 866558-67-0 CAPLUS

Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & & \\ & \parallel & \\ S - Pr - n \\ & \parallel & \\ C - NH - CH_2 & & \\ & N & \\ & & \\$$

RN 866558-68-1 CAPLUS

RN

CN

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} F & O & & O \\ \parallel & S - Pr - n \\ \parallel & C - NH - CH_2 & & O \\ \hline & C1 & & N \\ \end{array}$$

● HCl

RN 866558-69-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 866558-71-6 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866558-72-7 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 866558-73-8 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & & \\ & & \\ C- NH- CH_2 & & \\ &$$

RN 866558-74-9 CAPLUS

CN Benzamide, 2-bromo-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 866558-75-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & O \\ S-Pr-n & & & \\ C-NH-CH_2 & & O \\ \end{array}$$

RN 866558-76-1 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-77-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} NH2 & O & & \\ & S-Pr-n \\ \hline & C-NH-CH_2 & N & O \\ \hline & C1 & N & O \\ \end{array}$$

RN 866558-78-3 CAPLUS

CN Benzamide, 2-fluoro-6-methoxy-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-79-4 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-80-7 CAPLUS

CN Benzamide, 2-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-6-(trifluoromethyl)- (CA INDEX NAME)

RN 866558-81-8 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-82-9 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 866558-83-0 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-84-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & & \\ \hline & S - Pr - n \\ \hline & C - NH - CH_2 & \\ \hline & C1 & \\ F & & \\ \hline \end{array}$$

RN 866558-85-2 CAPLUS

CN Benzamide, 2-chloro-4-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-86-3 CAPLUS

CN Benzamide, 4-chloro-2-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 866558-87-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ S-Pr-n \\ \hline \\ C-NH-CH_2 & O \\ \\ \end{array}$$

RN 866558-93-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(3-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & S-Pr-n \\ \hline C-NH-CH_2 & O \\ \hline \\ N & O \\ \end{array}$$

RN 866558-94-3 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(3-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-95-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & S-Pr-n \\ & \\ C-NH-CH_2 & O \\ \end{array}$$

RN 866558-96-5 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[1-(propylsulfonyl)-4-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-99-8 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-00-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-01-5 CAPLUS

CN Benzamide, 2,4,5-trifluoro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-02-6 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 866559-10-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-11-7 CAPLUS

CN Benzamide, N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 866559-12-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-13-9 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-14-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-15-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-16-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-17-3 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-29-7 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 866559-30-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 866559-31-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-32-2 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-45-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & S-Pr-n \\ \hline & C-NH-CH_2 & Me \end{array}$$

RN 866559-46-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & & \\ & & S-Pr-n \\ \hline & C-NH-CH_2 & & O \\ \hline & & & \\ & & CF_3 \end{array}$$

RN 866559-48-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[4-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ \hline C - NH - CH_2 & O \\ \hline \\ CF_3 & \end{array}$$

RN 866559-49-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-50-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ \hline C - NH - CH_2 & O \\ \end{array}$$

RN 866559-54-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyrazinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 866559-55-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-56-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

C1 
$$C = NH - CH_2$$
  $N = CH_2$   $N$ 

RN 866559-57-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-59-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & O \\ S-Pr-n & & O \\ C-NH-CH_2 & & O \\ \end{array}$$

RN 866559-62-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-64-0 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 866559-71-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-75-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-76-4 CAPLUS

CN Benzamide, N-[[4-(3-bromo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 866559-78-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & O \\ S-Pr-n & & O \\ C-NH-CH_2 & & O \\ \end{array}$$

RN 866559-79-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(1,6-dihydro-6-oxo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & O \\ & S - Pr - n \\ C - NH - CH_2 & O \\ & NH \\ & O \\ \end{array}$$

RN 866559-80-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ \hline C - NH - CH_2 & OH \\ \end{array}$$

RN 866559-81-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[(2R,4S)-2-methyl-1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:451128 CAPLUS

DOCUMENT NUMBER: 142:476263

TITLE: 4-Phenylpiperidine derivative glycine transporter

inhibitors for the treatment of neurological and

psychiatric disorders

INVENTOR(S): Lindsley, Craig W.; Wisnoski, David D.; Zhao, Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA						KIND DATE				APPLICATION NO.						DATE		
				A2		20050526		WO 2004-US37359						20041110				
		CN, GE, LK, NO, TJ, BW, AZ,	CO, GH, LR, NZ, TM, GH, BY,	CR, GM, LS, OM, TN, GM, KG,	CU, HR, LT, PG, TR, KE, KZ,	CZ, HU, LU, PH, TT, LS,	DE, ID, LV, PL, TZ, MW, RU,	DK, IL, MA, PT, UA, MZ, TJ,	DM, IN, MD, RO, UG, NA, TM,	DZ, IS, MG, RU, US, SD,	BG, EC, JP, MK, SC, UZ, SL, BE,	EE, KE, MN, SD, VC, SZ, BG,	EG, KG, MW, SE, VN, TZ, CH,	ES, KP, MX, SG, YU, UG, CY,	FI, KR, MZ, SK, ZA, ZM, CZ,	GB, KZ, NA, SL, ZM, ZW, DE,	GD, LC, NI, SY, ZW AM, DK,	
		SE,		SK,	TR,						CM,							
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								CA 2004-2544981										
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		ΙE,	SI,	LT,	LV,	FΙ,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	IS		
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									JP 2006-539749									
									IN 2006-DN1895									
						A1 20070510			US 2006-579261 US 2003-519348P							0060		
PRIORIT	RIORITY APPLN. INFO.:															0031 0041		
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OTHER SOURCE(S): MARPAT 142:476263

AB The invention discloses 4-phenylpiperidine derivs. that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine

transporter GlyT1 is involved. Compound preparation is described. ΤТ 852029-09-5P 852029-11-9P 852029-12-0P 852029-13-1P 852029-16-4P 852029-17-5P 852029-18-6P 852029-21-1P 852029-22-2P 852029-23-3P 852029-24-4P 852029-25-5P 852029-26-6P 852029-27-7P 852029-28-8P 852029-31-3P 852029-32-4P 852029-33-5P 852029-34-6P 852029-35-7P 852029-36-8P 852029-37-9P 852029-38-0P 852029-39-1P 852029-40-4P 852029-41-5P 852029-42-6P 852029-43-7P 852029-44-8P 852029-46-0P 852029-47-1P 852029-48-2P 852029-49-3P 852029-50-6P 852029-51-7P 852029-52-8P 852029-53-9P 852029-54-0P 852029-55-1P 852029-56-2P 852029-57-3P 852029-58-4P 852029-59-5P 852029-60-8P 852029-61-9P 852029-62-0P 852029-63-1P 852029-64-2P 852029-65-3P 852029-66-4P 852029-67-5P 852029-68-6P 852029-69-7P 852029-70-0P 852029-71-1P 852029-72-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (phenylpiperidine derivative glycine transporter inhibitors for treatment of neurol. and psychiatric disorders) RN 852029-09-5 CAPLUS CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 852029-11-9 CAPLUS
CN Benzamide, 4-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl](CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ & & \\ C - NH - CH_2 \end{array}$$

RN 852029-12-0 CAPLUS
CN Benzamide, 2-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl](CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ \hline & S - Pr - n \\ \hline & C - NH - CH_2 - \\ & & Ph \end{array}$$

RN 852029-13-1 CAPLUS

CN Benzamide, 2-methyl-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ \hline \\ O & & \\ C-NH-CH_2 & & \\ \hline \\ Me & & \\ \end{array}$$

RN 852029-16-4 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \parallel & S - Pr - n \\ \hline C - NH - CH_2 & \\ Ph & \\ \end{array}$$

RN 852029-17-5 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 852029-18-6 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 852029-21-1 CAPLUS

CN Benzamide, 2,3-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 852029-22-2 CAPLUS

CN Benzamide, 3-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 852029-23-3 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c}
0 & & & \\
S & \text{Pr-n} \\
\hline
C - \text{NH} - \text{CH}_2 & & & \\
\hline
O - \text{CF}_3 & & \text{Ph}
\end{array}$$

RN 852029-24-4 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-25-5 CAPLUS

CN Benzamide, 2-(difluoromethoxy)-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
O & & & \\
S - Pr - n \\
C - NH - CH_2 & & \\
O - CHF_2 & & Ph
\end{array}$$

RN 852029-26-6 CAPLUS

CN Benzamide, 2,5-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & & \\ & & & \\$$

RN 852029-27-7 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & & \\ & & \\ C- NH- CH_2 & \\ & & \\ C1 & & \\ \end{array}$$

RN 852029-28-8 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-31-3 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ & S \\ \hline C - NH - CH_2 \\ \hline \end{array}$$

RN 852029-32-4 CAPLUS

CN Benzamide, 2-chloro-6-methyl-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} Me & O & & & & \\ & & S-Pr-n \\ & & & \\ C-NH-CH_2 & & & O \\ & & & Ph \end{array}$$

RN 852029-33-5 CAPLUS

CN Benzamide, 2-bromo-3-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 852029-34-6 CAPLUS

CN Benzamide, 2-(2,2-difluoroacetyl)-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 852029-35-7 CAPLUS

CN Benzamide, 2-bromo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 852029-36-8 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & NH2 & & & & \\ & & S-Pr-r \\ \hline & & & \\ & & C-NH-CH2 \\ \hline & & & Ph \end{array}$$

RN 852029-37-9 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} NH_2 & O & & & \\ & \parallel & \\ S-Pr-n \\ \hline & C-NH-CH_2 & & \\ & & Ph & \\ \end{array}$$

RN 852029-38-0 CAPLUS

CN Benzamide, 2-amino-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & \\ & NH2 & & & & & & \\ & & S-Pr-n \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 852029-39-1 CAPLUS

CN Benzamide, 2-iodo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ \hline & S - Pr - n \\ \hline & C - NH - CH_2 - \\ \hline & Ph \end{array}$$

RN 852029-40-4 CAPLUS

CN Benzamide, 2-fluoro-6-iodo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \hline & S - Pr - n \\ \hline & C - NH - CH_2 - \\ \hline & I & Ph \end{array}$$

RN 852029-41-5 CAPLUS

CN Benzamide, 2-(2,2-difluoroacetyl)-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-42-6 CAPLUS

CN Benzamide, 2-[(difluoromethyl)thio]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-43-7 CAPLUS

CN Benzamide, 2,3-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-44-8 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-46-0 CAPLUS

CN Benzamide, 2,5-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-47-1 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-48-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-49-3 CAPLUS

CN Benzamide, 2-fluoro-6-hydroxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} OH & O & \\ & S-Pr-n \\ \hline & C-NH-CH_2 \\ & Ph \end{array}$$

RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-51-7 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-52-8 CAPLUS

CN Benzamide, 2-bromo-3-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-53-9 CAPLUS

CN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-54-0 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-55-1 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-56-2 CAPLUS

CN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(methylthio)- (CA INDEX NAME)

RN 852029-57-3 CAPLUS

CN Benzamide, N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-58-4 CAPLUS

CN Benzamide, 2-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-59-5 CAPLUS

CN Benzamide, 4-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-60-8 CAPLUS

CN Benzamide, 2,6-dichloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-61-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-62-0 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-63-1 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-64-2 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 852029-65-3 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-66-4 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(2-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ NH2 & & & & \\ & & & & \\ C-NH-CH2 & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 852029-67-5 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(2-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-68-6 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2- [[(2,2,2-trifluoroethyl)amino]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C \\ C \\ C \\ C \\ O \end{array} \\ NH \\ C \\ NH \\ C \\ Ph \\ \\ O \\ \\ C \\ D \\ \\ O \\ \\$$

RN 852029-69-7 CAPLUS

CN Benzamide, 2-[[[2-(diethylamino)ethyl]amino]methyl]-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH-CH}_2\text{-CH}_2\text{-NEt}_2 & \text{O} \\ & \text{||} & \\ \text{CH}_2 & \text{S-Pr-n} \\ & \text{C-NH-CH}_2 & \text{O} \\ & \text{O} & \text{Ph} \end{array}$$

RN 852029-70-0 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-[[(2,2,2-trifluoroethyl)amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-71-1 CAPLUS

CN Benzamide, 2-[[[2-(diethylamino)ethyl]amino]methyl]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-72-2 CAPLUS

CN Benzamide, 2-[[3-(dimethylamino)-1-pyrrolidinyl]methyl]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:855758 CAPLUS

DOCUMENT NUMBER: 139:364829

TITLE: Preparation of heterocyclo inhibitors of potassium

channel function

INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin;

Beaudoin, Serge; Gross, Michael F.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.

SOURCE: PCT Int. Appl., 330 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.				KIN	D i	DATE			APPLICATION NO.						DATE			
WO 2003088908 WO 2003088908					A2 2003103 A3 2004052											20030416		
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	ΝI,	NO,	NΖ,	OM,	
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	

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KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003223651
                                 20031103
                                            AU 2003-223651
                          Α1
                                                                    20030416
                          A2
     EP 1501467
                                 20050202
                                             EP 2003-719792
                                                                    20030416
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005529114
                          Τ
                                 20050929
                                             JP 2003-585661
                                                                    20030416
     NO 2004004351
                          Α
                                 20041013
                                             NO 2004-4351
                                                                     20041013
PRIORITY APPLN. INFO.:
                                             US 2002-374279P
                                                                    20020419
                                             WO 2003-US11807
                                                                 W 20030416
OTHER SOURCE(S):
                         MARPAT 139:364829
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OTHER SOURCE(S): MARPAT 139:364829
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$$\begin{bmatrix} R^2 & J - R^3 \\ p & m \\ Q & R? \end{bmatrix}$$

The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.

IT 619277-83-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperidines as inhibitors of potassium channel function)

RN 619277-83-7 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-(propylsulfonyl)-4-(2-thienyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:314546 CAPLUS

DOCUMENT NUMBER: 132:321801

TITLE: Preparation of 4-[(benzoylamino)methyl]piperidines and

analogs as potassium channel inhibitors

INVENTOR(S): Bao, Jianming; Kayser, Frank; Kotliar, Andrew;

Parsons, William H.; Rupprecht, Kathleen M.;

Claiborne, Christopher F.; Liverton, Nigel; Claremon,

David A.; Thompson, Wayne J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.						KIND DATE				APPLICATION NO.						DATE			
WO	WO 2000025786					_	2000	0511		 WO 1	 1999-1		19991026						
	W:	ΑE,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,		
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		IN,	IS,	JP,	KE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,		
		MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,		
		SL,	ΤJ,	TM,	TR,	ΤΤ,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW				
	RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SL,	SZ,	ΤZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,		
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US	6303	637									L999-					9991	021		
CA 2348735								CA 1	L999-	2348	735		19991026						
	2348																		
	-	-								EP 1	L999-	9551	69		19991026				
EP	1126	849			В1		2005	0309											
	R:	•	•	•		•		FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		,	,	,	LV,														
							JP 2000-579227												
AU 764515							AU 2000-11338												
AT 290382				${ m T}$		20050315			AT 1999-955169						19991026 19991026 SE, MC, PT, 19991026 19991026 19991026				
IORITY APPLN. INFO.:										L998-									
									WO 1	L999-1	US25	066	1	W 1	9991	026			
HER SOURCE(S):				MAR	ARPAT 132:3218														

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AB Title compds. [I; R1 = CH2NR10COR6; R2,R6 = (un)substituted Ph; R3,R4 = H, halo, alkyl, acyl, etc.; R10 = H, alkyl, acyl, etc.; Z = 0, S00-2, NR5; R5 = H, OH, alkyl, acyl, etc.; Z1,Z2 = bond, CH2, CH2CH2] were prepared as potassium channel inhibitors (no data). Thus, 4-cyano-1-benzyl-4-phenylpiperidine was reduced and the product N-acylated

by 2-(MeO)C6H4CONHCH2Z3Ac (Z3 = 4-phenylpiperidine-4,1-diyl).

IT 266341-42-8P 266341-43-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-[(benzoylamino)methyl]piperidines and analogs as potassium channel inhibitors)

RN 266341-42-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-(CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S - Pr - n \\ \hline O & & \\ C - NH - CH_2 - & \\ \hline OMe & & \\ \end{array}$$

RN 266341-43-9 CAPLUS

CN Benzamide, N-[[1-(butylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy-(CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \hline O & & \\ S - Bu - n \\ \hline C - NH - CH_2 - & \\ OMe & & \\ \end{array}$$

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TOTAL.

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FULL ESTIMATED COST	ENTRY 64.75	SESSION 243.32
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.80	-8.80

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